09/930,316

- L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS
- AN 1998:221399 CAPLUS
- DN 128:205033
- TI. Design and Synthesis of Potent Sensitizers of Gram-Negative Bacteria Based on a Cholic Acid Scaffolding
- AU Li, Chunhong; Peters, Adam S.; Meredith, Erik L.; Allman, Glenn W.; Savage, Paul B.
- CS Departments of Chemistry and Biochemistry and Microbiology, Brigham Young University, Provo, UT, 84602, USA
- SO Journal of the American Chemical Society (1998), 120(12), 2961-2962
 - CODEN: JACSAT; ISSN: 0002-7863
- PB American Chemical Society
- DT Journal
- LA English
- CC 32-6 (Steroids)
- Section cross-reference(s): 22, 34

GΙ

- AΒ The outer membrane of Gram-neg, bacteria inhibits the passage of numerous antibiotics into the bacteria and thereby decreases the activity of many antibiotics against Gram-neg. strains. Lipid A is a primary component of the outer membrane of Gram-neg. bacteria and plays an essential role in cell wall integrity. Compds. that assoc. strongly with lipid A can disrupt the organization of the outer cell wall and sensitize Gram-neg. bacteria to antibiotics. Cholic acid derivs. I [R = CH2CH2NH2, CH2CH2CH2NH2, CH2CH2NHC(NH2):NH, CH2CH2CH2NHC(NH2):NH] designed as lipid A-binding mols. that exhibit bacteriostatic and bactericidal activities and sensitize Gram-neg. bacteria to antibiotics were prepd. For example, the min. inhibitory concns. of erythromycin and novobiocin are lowered by factors of 70 and 500, resp., in the presence one of the cholic acid derivs. at a concn. of 2 .mu.g/mL. Structural variations in the cholic acid derivs. indicate that bacteriostatic and bactericidal activity can be sepd. from the ability of the compds. to sensitize bacteria to
- ST Gram neg bacteria sensitizer prepn; cholic acid deriv prepn bacteria sensitization; bactericidal cholic acid deriv prepn; lipid A binding mol steroid prepn
- IT Steroids, preparation
 - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 - (cholane; design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone)
- IT Antibacterial agents

Conformation Molecular mechanics (design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone) IT. Lipid A RL: RCT (Reactant); RACT (Reactant or reagent) (design and synthesis of potent sensitizers of Gram-neq. bacteria based on a cholic acid backbone) ΙT Structure-activity relationship (sensitization; design and synthesis of potent sensitizers of Gram-neq. bacteria based on a cholic acid backbone) IT Gram-negative bacteria (sensitizers; design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone) IT Cooperative phenomena (synergism; design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone) IT 86408-36-8, Polymyxin B nonapeptide RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone) ΙT 203795-46-4P . 203795-47-5P 203795-48-6P 203795-49-7P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone) 203795-54-4P IT 203795-53-3P 203795-55-5P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone) ΙT 121379-26-8, 4-10-Polymyxin B1 RL: PRP (Properties) (design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone) ΙT 103-67-3, N-Benzylmethylamine 111-83-1, 1-Bromooctane 1448-36-8, Methyl cholate RL: RCT (Reactant); RACT (Reactant or reagent) (design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone) ΙT 3758-71-2P 203795-50-0P 203795-51-1P 203795-52-2P 203795-56-6P 203795-57-7P 203795-58-8P 203795-61-3P 203795-64-6P 203795-67-9P 203795-70-4P 203795-73-7P 203795-76-0P 203795-79-3P 203795-82-8P 203795-85-1P 203795-88-4P 203795-91-9P 203795-93-1P 203795-94-2P 203795-95-3P 203795-96-4P 203796-02-5P 203796-03-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone) IT 203795-97-5P 203795-98-6P 203795-99-7P 203796-01-4P RL: SPN (Synthetic preparation); PREP (Preparation) (design and synthesis of potent sensitizers of Gram-neg. bacteria based on a cholic acid backbone) IT 114-07-8, Erythromycin 303-81-1, Novobiocin RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (synergism of potent sensitizers of Gram-neg. bacteria based on a

cholic acid backbone with)

=> d ibib ab hitstr 1-5

L7 ANSWER 1 OF 5 USPATFULL
ACCESSION NUMBER: 2002:172518 USPATFULL
TITLE: Steroid derived antibiotics
INVENTOR(S): Savage, Faul B., Springville, UT, UNITED STATES
Li, Chunhong, Provo, UT, UNITED STATES

PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.;

NUMBER KIND DATE

US 2002091278 A1 20020711
US 2001-930316 A1 20010815 (9)
Continuation-in-part of Ser. No. US 1999-234008, filed on 19 Jan 1999, PATEMIZEO Continuation-in-part of Ser. No. WO 1998-US4489, filed on 6 Mar 1998, UNKNOWN

NUMBER

DATE P 20000815 (60) PRIORITY INFORMATION: DOCUMENT TYPE: FILE SEGMENT: LEGAL REPRESENTATIVE:

US 2000-225467P 20000815 (60)
Utility
APPLICATION
JOHN W. FREEMAN, ESQ., Fish & Richardson P.C., 225
Franklin Street, Boston, MA, 02110-2804

NUMBER OF CLAIMS: 58
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 10 Drawing Page(s)
LINE COUNT: 3770
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A series of novel steroid derivatives are described. The steroid derivatives are antibacterial agents. The steroid derivatives also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin.

novobiccin.
203795-46-4P 203795-47-5P 203795-48-6P
203795-49-PP 222022-08-4P
(prepn. of steroid derivs. as antibiotics)
203795-46-4 USPATFULL
Cholan-24-amine, 3,7,12-tris(2-aminoethoxy)-N-methyl-N-(phenylmethyl)-,
(3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-47-5 USPATFULL Cholan-24-amine, 3,7,12-trig(3-aminopropoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha,5.beta,7.alpha,12.alpha,)- (9CI) (CA INDEX NAME)

L7 ANSWER 1 OF 5 USPATFULL (Continued)

222022-08-4 USPATFULL
Cholan-24-amine, 3,7,12-tris(3-aminopropoxy)-N-octyl-,
(3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

T7 203795-53-3P 203795-54-4P 203795-55-5P 222021-67-2P 222021-69-4P 222021-72-9P 222021-68-9P 222021-72-9P 222021-76-3P 222021-86-5P 222022-98-3P 222022-98-5P 222022-98-5P 222022-98-5P 222022-99-2P 22265-20-9P 22165-99-5P 24161-98-4P 24161-98-3P 24161-98-4P 24161-98-3P 24161-98-3P 24161-98-4P 24161-99-3P 24161-98-5P 24161-98-5P

(prepn. of steroid derivs. as antibiotics)
203795-53-3 USPATFULL
(Cholan-24-amine, 3.7,12-tris(2-aminoethoxy)-N-methyl-N-(phenylmethyl)-,
(3.beta.,5.beta.,7.alpha.,12.alpha.)- (GCI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 5 USPATFULL Absolute stereochemistry.

203795-48-6 USPATFULL
Guanidine, N,N''',N''''-[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris(oxy-2,1ethanediyl)]tris-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-49-7 USPATFULL
Guanidine, N,N''',N''''-[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris(oxy-3,1propanedyl)]tris-(SCI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)

203795-54-4 USPATFULL Cholan-24-ol, 3,7,12-tris(3-aminopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

203795-55-5 USPATFULL
1-Propanamine, 3,3',3''-[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-(octyloxy)cholane-3,7,12-triyl]tris(oxy)]tris-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

222021-67-2 USPATFULL
Androstam-17-01, 3,7,12-tris(3-aminopropoxy)-,
(3-alpha,5-beta,7-alpha,12-alpha,17-beta,)- (9CI) (CA INDEX NAME)

222021-69-4 USPATFULL
Pregnan-20-ol, 3,7,12-tris(3-aminopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12
.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222021-72-9 USPATFULL
Pregnane-20-methanol, 3,7,12-tris(3-aminopropoxy)-,
(3.alpha.,5.beta.,7.alpha.,12.alpha.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222021-76-3 USPATFULL

L7 ANSWER 1 OF 5 USPATFULL (Continued)

222021-86-5 USPATFULL
1-Propanamine, 3,3',3''-[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24(pentyloxy)cholane-3,7,12-triy1]tris(oxy)]tris- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222022-09-5 USPATFULL
Guanidine, N,N'''N''''-[{(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24(octylamino)cholane-3,7,12-triyl]tris(oxy-3,1-propanediyl)]tris-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.

222022-10-8 USPATFULL Cholan-24-amine, 3,7,12-tris(3-aminopropoxy)-,

L7 ANSWER 1 OF 5 USPATFULL (Continued)
CN 24-Norcholan-23-ol, 3,7,12-tris(3-aminopropoxy)-,
(3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222021-81-0 USPATFULL
1-Propanamine, 3,3',3''-[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24methoxycholane-3,7,12-triyl]tris(oxy)]tris-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

222021-84-3 USFATFULL
1-Propanamine, 3,3',3''-[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24propoxycholane-3,7,12-triyl}tris(oxy)]tris- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)
(3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222022-11-9 USPATFULL
Cholan-24-ol, 3,7,12-tris(3-aminopropoxy)-, hydrogen sulfate (ester),
(3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222165-06-2 USPATFULL
Glycine, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12triyl ester (9CI) (CA INDEX NAME)

ANSWER 1 OF 5 USPATFULL (Continued)
222165-09-5 USPATFULL
.beta.-Alanine, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane3,7,12-triyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222165-15-3 USPATFULL
Glycine, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24[methyl(phenylmethyl)amino]cholane-3,7,12-triyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222165-20-0 USPATFULL .beta.-Alanine, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24- [methyl(phenylmethyl)amino]cholane-3,7,12-triyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)

241161-85-3 USPATFULL
.beta.-Alanine, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24[methyl(phenylmethyl)amino]cholane-3,7,12-triyl ester, trihydrochloride
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

241161-86-4 USPATFULL
1-Propanamine, 3,31,31",31",31"'-[oxybis[(3.alpha.,5.beta.,7.alpha.,1.alpha.)-cholane-24,3,7,12-tetrayltris(oxy)]]hexakis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL

241161-83-1 USPATFULL
Cholan-24-amine, 3,7,12-tris(4-aminobutoxy)-N-methyl-N-(phenylmethyl)-,
(3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

241161-84-2 USPATFULL Glycine, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl ester, trihydrochloride (9C1) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)

PAGE 1-B

241161-93-3 USPATFULL Cholan-24-amine, 3,7,12-tris(2-aminoethoxy)-N-methyl-N-(phenylmethyl)-, hydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

L7 ANSWER 1 OF 5 USPATFULL

●x HCl

241161-94-4 USPATFULL Cholan-24-amine, 3,7,12-tris(3-aminopropoxy)-N-methyl-N-(phenylmethyl)-, hydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

241161-95-5 USPATFULL Guanddine, N,N''',N'''''-[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris(oxy-2,1-ethanediyl))tris-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL

302784-39-0 USPATFULL Cholan-24-oic acid, 3,7,12-tris(3-amino-1-oxopropoxy)-, octyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

302784-40-3 USPATFULL Cholan-24-oic acid, 3,7,12-tris(4-amino-1-oxobutoxy)-, octyl ester, {3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)

●x HCl

241161-96-6 USPATFULL Guanidine, N,N''',N''''',[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris(oxy-3,1-propanediyl)]tris-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

302784-38-9 USPATFULL Cholan-24-oic acid, 3,7,12-tris[(aminoacetyl)oxy]-, octyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)

302784-41-4 USPATFULL
Cholan-24-oic acid, 3,7,12-tris[(aminoacetyl)oxy]-, 2(trimethylammonio)ethyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)(SCI) (CA INDEX NAME)

Absolute stereochemistry.

302784-42-5 USPATFULL Cholan-24-oic acid, 3,7,12-tris(3-amino-1-oxopropoxy)-, 2-(trimethylammonio)ethyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

302784-43-6 USPATFULL Cholan-24-oic acid, 3,7,12-tris(4-amino-1-oxobutoxy)-, 2-(trimethylammonio)ethyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-(SCI) (CA INDEX NAME)

L7 ANSWER 1 OF 5 USPATFULL

302784-44-7 USPATFULL Cholan-24-oic acid, 3,7,12-tris[(aminoacetyl)oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

302784-57-2 USPATFULL Cholane-3,7,12-triol, 24-[methyl(phenylmethyl)amino]-, tris(4-aminobutanoate) (ester), (3.alpha.,5.beta.,7.alpha.,12.alpha.)-(SCI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL

400710-92-1 USPATFULL
1,3-Propanediamine, N-(3-aminopropyl)-N'-[{3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris(3-aminopropoxy)cholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

400710-93-2 USPATFULL
1,3-Propanediamine, N-(3-aminopropyl)-N-({3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris(3-aminopropoxy)cholan-24-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 203795-51-1P 203795-67-9P 203795-70-4P 203795-73-7P 203795-76-0P 203795-79-3P 203795-79-3P 203795-86-4P 203795-95-2P 203795-86-4P 203795-94-2P 203795-86-4P 203796-02-5P 222022-07-3P 222022-06-2P 222022-07-3P 222022-12-0P 222165-65-3P 222165-70-0P 241161-99-7P 241161-99-0P 24161-91-1P 24161-92-2P 24467-94-1P 24467-86-3P 24467-86-3P 24467-86-3P 302784-46-9P 302784-45-0P 302784-45-0P 302784-45-0P 302784-45-0P 400710-94-3P 400710-95-4P 400710-96-5P

L7 ANSWER 1 OF 5 USPATFULL (Continued)

349478-61-1 USPATFULL
1-Propanamine, 3,3',3'',3''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)cholane-3,7.12,24-tetrayltetrakis(oxy)|tetrakis-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

400710-91-0 USPATFULL
1,3-Propanediatine, N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris(3-aminopropoxy)cholan-24-yl]- [9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 5 USPATFULL (Continued)
400710-97-69 400710-98-7P 400711-01-59
439940-49-59 439940-51-99 439940-52-0P
439940-53-1P
(prepon. of steroid derivs. as antibiotics)
203795-51-1 USPATFULL
Cholane, 3,7,12-trig(2-azidoethoxy)-24-(triphenylmethoxy)-,
(3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-67-9 USPATFULL

Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-, (3.alpha.,5.beta.,7.alpha.,12.a lpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-70-4 USPATFULL Cholan-24-ol, 3,7,12-tris(3-azidopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.) (9C1) (CA INDEX NAME)

203795-73-7 USPATFULL Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-, methanesulfonate (ester), (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-76-0 USPATFULL Cholan-24-ol, 3,7,12-tris(3-azidopropoxy)-, methanesulfonate (ester), (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 5 USPATFULL (Continued)

203795-95-3 USPATFULL Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-, (3:beta.,5.beta.,7.alpha.,12.alpha.)-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

203795-96-4 USPATFULL Cholan-24-amine, 3,7,12-tris(2-azidoethoxy)-N-methyl-N-(phenylmethyl)-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203796-02-5 USPATFULL Cholane, 3,7,12-tris(3-azidopropoxy)-24-(octyloxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)

203795-79-3 USPATFULL

Cholan-24-amine, 3,7,12-tris(2-azidoethoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-82-8 USPATFULL Cholan-24-amine, 3,7,12-tris(3-azidopropoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-94-2 USPATFULL Cholane, 3,7,12-tris(2-azidoethoxy)-24-(triphenylmethoxy)-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)

222021-93-4 USFATFULL Cholane, 3,7,12-tris(3-azidopropoxy)-24-propoxy-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222022-02-8 USPATFULL Pregnan-20-one, 3,7,12-tris(3-azidopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222022-06-2 USPATFULL 24-Norcholan-23-01, 3,7,12-tris(3-azidopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

222022-07-3 USPATFULL 24-Norchol-22-ene, 3,7,12-tris(3-azidopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222022-12-0 USPATFULL
Androstan-17-ol, 3,7,12-tris(3-azidopropoxy)-, acetate (ester),
(3.alpha.,5.beta.,7.alpha.,12.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222165-65-3 USPATFULL

L7 ANSWER 1 OF 5 USPATFULL

241161-90-0 USPATFULL
.beta.-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-,
(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]chol
ane-3,7,12-triyl ester (9CI) (CA INDEX NAME)

241161-91-1 USPATFULL Cholane, 3,7,12-tris(3-azidopropoxy)-24-bromo-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 5 USPATFULL (Continued)
Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.
alpha.)-24-(triphenylmethoxy)cholane-3,7,12-triyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222165-70-0 USPATFULL.
.beta.-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-,
(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-(triphenylmethoxy)cholane3,7,12-triyl ester (9CI) (CA INDEX NAME)

241161-89-7 USPATFULL Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 1 OF 5 USPATFULL (Continued)

241161-92-2 USPATFULL
Cholane, 24,24'-oxybis(3,7,12-tris(3-azidopropoxy)-,
(3.alpha.,5.beta.,7.alpha.,12.alpha.)-(3'.alpha.,5'.beta.,7'.alpha.,12'.
alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

284467-84-1 USPATFULL Cholan-24-ol, 3,7,12-tris(3-azidopropoxy)-, hydrogen sulfate (ester), (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

. 284467-86-3 USPATFULL Androstan-17-ol, 3,7,12-tris(3-azidopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.,17.beta.)- (9CI) (СА INDEX NAME)

Absolute stereochemistry.

284467-87-4 USPATFULL Cholane, 24-azido-3,7,12-tris(3-azidopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

302784-45-8 USPATFULL

ANSWER 1 OF 5 USPATFULL

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— (CH₂) 7

302784-47-0 USPATFULL
Cholan-24-oic acid, 3,7,12-tris[4-[[(1,1-dimethylethoxy]carbonyl]amino]-1oxobutoxy]-, octyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

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- (CH2)7

---- OBu-t

302784-48-1 USPATFULL Cholan-24-oic acid, 3,7,12-tris[[[[(1,1-dimethylethoxy)carbonyl]amino]acet ylloxy]-, phenylmethyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 5 USPATFULL (Continued)
Cholan-24-oic acid, 3,7,12-tris[[[[(1,1-dimethylethoxy]carbonyl]amino]acet
yl]oxy]-, octyl ester, {3.alpha.,5.beta.,7.alpha.,12.alpha.}- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

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302784-46-9 USPATFULL
Cholan-24-oic acid, 3,7,12-tris[3-{{(1,1-dimethylethoxy)carbonyl]amino]-1-cxopropoxyl-, octyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 5 USPATFULL (Continued)

302784-51-6 USPATFULL Cholan-24-oic acid, 3,7,12-tris[[[[(1,1-dimethylethoxy)carbonyl]amino]acet yl]oxy]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

400710-94-3 USPATFULL Carbamic acid, [3-[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris(3-azidopropoxy)cholan-24-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

- OBu-t

400710-95-4 USPATFULL Carbamic actd, [3-[{[3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris(3-aminopropoxy)cholan-24-yl]amino]propy]]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

→ oBu-t

L7 ANSWER 1 OF 5 USPATFULL . (Continued)

PAGE 1-B

400711-01-5 USPATFULL Cholan-24-amine, 3,7,12-tris(3-azidopropoxy)-N-octyl-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

439940-49-5 USPATFULL Cholan-24-oic acid, 3,7,12-tris[[[[(1,1-dimethylethoxy)carbonyl]amino]acet yl]oxy]-, 2-(dimethylamino)ethyl ester, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 5 USPATFULL (Continued)
400710-96-5 USPATFULL
1-Propanol, 3-{([3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris(3-azidopropoxy)cholan-24-yl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

400710-97-6 USPATFULL 1-Propanol, 3-[{[3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris(3-azidopropoxy)cholan-24-yl]amino]-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

400710-98-7 USPATFULL Carbamic acid, [3-[{3-[{(3.alpha.,5.beta.,7.alpha.,12.alpha.}-3,7,12-tris(3-azidopropoxy)cholan-24-yl]amino]propyl]amino]propyl}-, 1,1-dimethylethyl ester (9Cl) (CA INDEX NAME)

Absolute stereochemistry,

L7 ANSWER 1 OF 5 USPATFULL (Continued)

PAGE 1-B

--- NMe 2

439940-51-9 USPATFULL Cholan-24-oic acid, 3,7,12-tris[[[[(1,1-dimethylethoxy)carbonyl]amino]acet ylloxy], 2-(trimethylammonio)ethyl ester, iodide, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

PAGE 1-B

439940-52-0 USPATFULL
Cholan-24-oic acid, 3,7,12-tris[3-[[(1,1-dimethylethoxy)carbonyl]amino]-1oxopropoxyl-, 2-(trimethylammonio)ethyl ester, iodide,

(3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA-INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

∠N+Me3

439940-53-1 USPATFULL Cholan-24-oic acid, 3,7,12-tris(4-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxobutoxy]-, 2-(trimethylammonio)ethyl ester, iodide, (3.alpha.,5.beta.,7.alpha.,12.alpha.,)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 2 OF 5 USPATFULL
ACCESSION NUMBER: 2002:112898 USPATFULL
TITLE: Targeted oligonucleotide conjugates
HATENT ASSIGNEE(S): Hanoharan, Muthiah, Carlsbad, CA, UNITED STATES
FATENT ASSIGNEE(S): ISIS Pharmaceuticals, Inc. (U.S. corporation)

NUMBER KIND DATE US 2002058639 Al 20020516
US 6525031 B2 20030225
US 2001-934424 Al 20030821 (9)
Division of Ser. No. US 1938-37153, filed on 16 Jun 1998, GRANTED, Pat. No. US 5300319
Utility
APPLICATION
Woodcock Washburn Kurtz, Mackiewicz & Norris LLP, One Liberty Place - 46th Floor, Philadelphia, PA, 19103 PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.:

DOCUMENT TYPE: FILE SEGMENT:

LEGAL REPRESENTATIVE:

LEGAL REPRESENTATIVE: Woodcock Washburn Kurtz, Mackiewicz & Norris LLP, One Liberty Flace - 46th Floor, Philadelphia, PA, 19103

NUMBER OF CLAIMS: 40

EXEMPLARY CLAIM: 1

LINE COUNT: 1415

AB The present invention provides improved ingress of therapeutic and other moieties into cellular targets. In accordance with preferred embodiments, complexes are provided which carry primary moieties, chiefly therapeutic moieties, to such target cells. Such complexes preferably feature cell surface receptor ligands to provide specificity. Such ligands are preferably bound to primary moieties through polyfunctional manifold compounds.

IT 252769-11-29 252769-12-39 252769-13-4P

252769-11-49 252769-13-69

[prepn. of targeted oligonucleotide conjugates useful as drug delivery agents]

RN 252769-11-2 USPATFULL

CN Cholane-3, 7.12-triol, 24-[[(1,1-dimethylethyl)diphenylsilyl)oxy]-, tris[[6-oxo-6-[(2,3,4,6-tetra-0-acetyl--beta.-D-galactopyranosyl)amino)hexyl]carbamate], (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

PAGE 1-A

L7 ANSWER 1 OF 5 USPATFULL (Continued)

PAGE 1-B

N+Me3

OBu-t ســ

L7 ANSWER 2 OF 5 USPATFULL (Continued)

PAGE 1-B

252769-12-3 USPATFULL
Cholane-3,7,12-triol, 24-[{(1,1-dimethylethyl)diphenylsilyl]oxy]-,
tris[[6-oxo-6-[[2,3,6-tri-0-acetyl-4-0-(2,3,4,6-tetra-0-acetyl-.beta.-Dgalactopyranosyl)-.beta.-0-glucopyranosyl)amino|hexyl]carbamate],
(3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 252769-13-4 USPATFULL CN Cholane-3,7,12,24-tetrol, 3,7,12-tris[[6-oxo-6-[(2,3,4,6-tetra-0-acetyl-beta-D-galactopyranosyl)amino]hexyl]carbamate], (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 2 OF 5 USPATFULL (Continued)

RN 252769-15-6 USPATFULL
CN Cholane-3,7,12-triol, 24-[bis(4-methoxyphenyl)phenylmethoxy]-,
 tris[[6-oxo-6-[[2,3,6-tri-0-acety]-4-0-(2,3,4,6-tetra-0-acety]-.beta.-D galactopyranosyl)-.beta.-D-glucopyranosyl)amino|hexyl]carbamate],
 (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

L7 ANSWER 2 OF 5 USPATFULL (Continued)

— (CH₂) 3 OH

PAGE 1-B

RN 252769-14-5 USPATFULL
CN Cholane-3,7,12,24-tetrol, 3,7,12-tris{[6-oxo-6-[[2,3,6-tri-0-acetyl-4-0-(2,3,4,6-tetra-0-acetyl-.beta.-D-galactopyranosyl)-.beta.-D-glucopyranosyl]aminojhexyl]carbamate), (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 2 OF 5 USPATFULL (Continued)

L7 ANSWER 3 OF 5 USPATFULL
ACCESSION NUMBER: 2002:39914 USPATFULL
TITLE: Steroid decived antibiotics
INVENTOR(S): Savage, Paul B., Springville, UT, United States
Li, Chunhong, Provo, UT, United States
PATENT ASSIGNEE(S): Brigham Young University, Provo, UT, United States
(U.S. corporation)

PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.:

NUMBER KIND DATE

US 6350738 B1 20020226
US 1999-234008 19990119 (9)
Continuation-in-part of Ser. No. WO 1998-US4489, filed on 6 Mar 1998
UEILITY GRANTED
Badio, Barbara P.
Fish & Richardson P.C.
14
1

RELATED APPIM. INFO.: Continuation-in-part of Ser. No. WO 1998-US4489, filed on 6 Mar 1998

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Badio, Barbara P.
LEGAL REPRESENTATIVE: Fish & Richardson P.C.

NUMBER OF CLAIMS: 14

EXCMPLANY CLAIM: 1 8 Drawing Figure(s); 5 Drawing Page(s)

LINE COUNT: 3087

AS Exies of novel steroid derivatives are described. The steroid derivatives are antibacterial agents. The steroid derivatives are antibacterial pagents. The steroid derivatives are antibacterial pagents. The steroid derivatives also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin.

IT 203795-46-49 203795-47-5P 203795-48-6P
203795-46-40 VEARTFULL

CN Cholan-24-amine, 3, 7, 12-tris(2-aminoethoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha., 5.beta., 7.alpha., 12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-47-5 USPATFULL Cholan-24-amine, 3,7,12-trig(3-aminopropoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha,,5.beta,7.alpha,,12.alpha,)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 3 OF 5 USPATFULL (Continued)

IT 203795-53-3P 203795-54-4P 203795-55-5P 222021-69-4P 241161-83-1P 241161-84-2P 241161-85-3P 241161-85-6P 241161-95-5P 241161-96-6P

(prepn. of steroidal antibiotics)
203795-53-3 USPATFULL
Cholan-24-amine, 3.7,12-tris(2-aminoethoxy)-N-methyl-N-(phenylmethyl)-,
(3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-54-4 USPATFULL Cholan-24-ol, 3,7,12-tris(3-aminopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.,601) (G. NDEX NAME)

Absolute stereochemistry.

L7 ANSWER 3 OF 5 USPATFULL (Continued)

203795-48-6 USPATFULL Guanidine, N,N''',N'''''-[[{3.alpha.,5.beta.,7.alpha.,12.alpha.}-24-[methyl]phenylmethyl]amino]cholane-3,7,12-triyl]tris(oxy-2,1-ethanediyl)]tris-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-49-7 USPATFULL
Guanidine, N,N''',N'''''-[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24[methyl|phenylmethyl]amino]cholane-3,7,12-triyl]tris(oxy-3,1propanediyl)]tris-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 3 OF 5 USPATFULL (Continued)

203795-55-5 USPATFULL
1-Propanamine, 3,3',3''-[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24(octyloxy)cholane-3,7,12-triyl]tris(oxy)]tris- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222021-69-4 USPATFULL Pregnan-20-ol, 3,7,12-tris(3-aminopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12 .alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

241161-83-1 USPATFULL Cholan-24-amine, 3,7,12-tris(4-aminobutoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

RN 241161-84-2 USPATFULL CN Glycine, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phonylmethyl)amino]cholane-3,7,12-triyl ester, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●3 HC1

RN 241161-85-3 USPATFULL CN .beta.-Alanine, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl (phenylmethyl) amino]cholane-3,7,12-triyl ester, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 3 OF 5 USPATFULL (Continued)

PAGE 1-B

RN 241161-93-3 USPATFULL CN Cholan-24-amine, 3,7,12-tris(2-aminoethoxy)-N-methyl-N-(phenylmethyl)-, hydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

•× HCl

RN 241161-94-4 USPATFULL CN Cholan-24-amine, 3,7,12-tris(3-aminopropoxy)-N-methyl-N-(phenylmethyl)-, hydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 3 OF 5 USPATFULL (Continued)

●3 HC1

RN 241161-86-4 USPATFULL
CN 1-Propanamine, 3,3',3'',3''',3'''',3''''-[oxybis[(3.alpha.,5.beta.,7.alph
a.,12.alpha.)-cholane-24,3,7,12-tetrayltris(oxy)]]hexakis- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 3 OF 5 USPATFULL (Continued)

•x HCl

N 241161-95-5 USPATFULL N Guanidine, N,N''',N''''-[{(3.alpha.,5.beta.,7.alpha.,12.alpha.}-24-[metbyl (phenylmetbyl) amino] cholane-3,7,12-triy] tris(oxy-2,1ethanediyl)]tris-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry

•x HCl

RN 241161-96-6 USPATFULL
CN Guanidine, N,N''',N''''-[{(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24[methyl(phenylaethyl)amino]cholane-3,7,12-triyl)tris(oxy-3,1propanediyl)}tris-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

203795-51-1P 203795-67-9P 203795-70-4P 203795-73-7P 203795-76-0P 203795-79-3P 203795-96-2P 203795-96-2P 203795-96-2P 203795-96-4P 203795-96-2P 202792-96-4P 203795-96-02-5P 222022-02-8P 241161-89-7P 241161-90-0P 241161-91-1P 241161-92-2P (prepn. of steroidal antibiotics) 203795-51-1 USPATFULL Cholane, 3,7,12-tris(2-azidoethoxy)-24-(triphenylmethoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-67-9 USPATFULL Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 5 USPATFULL (Continued)
203795-76-0 USPATFULL
Cholan-24-01, 3,7,12-tris(3-azidopropoxy)-, methanesulfonate (ester),
(3.alpha.,5.beta.,7.alpha.,12.alpha.) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-79-3 USPATFULL
Cholan-24-amine, 3,7,12-tris(2-azidoethoxy)-N-methyl-N-(phenylmethyl)-,
(3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-82-8 USPATFULL Cholan-24-mmine, 3,7,12-tris(3-azidopropoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 3 OF 5 USPATFULL (Continued)

203795-70-4 USPATFULL Cholan-24-ol, 3,7,12-tris(3-azidopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-73-7 USPATFULL
Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-, methanesulfonate (ester), .
(3.alpha, 5.beta, 7.alpha, 12.alpha,)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 3 OF 5 USPATFULL (Continued)

203795-94-2 USPATFULL Cholane, 3,7,12-tris(2-azidoethoxy)-24-(triphenylmethoxy)-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-95-3 USPATFULL
Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-, (3.beta.,5.beta.,7.alpha.,12.al
pha.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

203795-96-4 USPATFULL Cholan-24-amine, 3,7,12-tris(2-szidoethoxy)-N-methyl-N-(phenylmethyl)-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

203796-02-5 USPATFULL Cholane, 3,7,12-tris(3-azidopropoxy)-24-(octyloxy)-, {3.alpha.,5.beta.,7.alpha.,12.alpha.}- {9CI} (CA INDEX NAME)

Absolute stereochemistry.

222022-02-8 USPATFULL
Pregnan-20-one, 3,7,12-tris(3-azidopropoxy)-,
(3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

241161-89-7 USPATFULL Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 3 OF 5 USPATFULL (Continued)

241161-92-2 USPATFULL
Cholane, 24, 24'-oxybis(3,7,12-tris(3-azidopropoxy)-,
(3.alpha.,5.beta.,7.alpha.,12.alpha.)-(3'.alpha.,5'.beta.,7'.alpha.,12'.
alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L7 ANSWER 3 OF 5 USPATFULL (Continued)

241161-90-0 USPATFULL
.beta.-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-,
(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]chol
ane-3,7,12-triyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

241161-91-1 USPATFULL Cholane, 3,7,12-tris(3-azidopropoxy)-24-bromo-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME) Absolute stereochemistry.

.L7 ANSWER. 3 OF 5 USPATFULL (Continued)

L7 ANSWER 4 OF 5 USPATFULL
ACCESSION NUMBER: 2002:32554 USPATFULL
TITLE: Steroid derived antibiotics
INVENTOR(5): Savage, Paul B., Springville, UT, UNITED STATES
Li, Chunhong, Provo, UT, UNITED STATES
Brigham Young University, a Utah corporation (U.S. corporation)

NUMBER KIND DATE

US 2002019376 A1 20020214

US 6486148 B2 20021126

US 2001-927926 A1 20010810 (9)
Division of Ser. No. US 1999-234008, filed on 19 Jan 1999, PENDING Continuation-in-part of Ser. No. WO 1998-US4489, filed on 6 Mar 1998, UNKNOWN UTILITY APPLICATION
JOHN W. FREEMAN, ESQ., Fish & Richardson P.C., 225
Franklin Street, Boston, MA, 02110-2804 PATENT INFORMATION:

APPLICATION INFO.: RELATED APPLN. INFO.:

DOCUMENT TYPE: FILE SEGMENT: LEGAL REPRESENTATIVE:

Franklin Street, Boston, MA, 02110-2804

NUMBER OF CLAIMS: 107

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 5 Drawing Page(s)

LINE COUNT: 3583

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A series of novel steroid derivatives are described. The steroid derivatives are antibacterial agents. The steroid derivatives also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin.

novobiocin.

203795-46-4P 203795-47-5P 203795-48-6P
203795-49-4P-1P
(prepn. of steroidal antibiotics)

203795-46-4D 20795-47-4D 20795-48-6P
(203795-46-4D 20795-48-6P)
(3.alpha.,5.beta.,7.alpha.,12.alpha.) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-47-5 USPATFULL
Cholan-24-mmine, 3,7,12-tris(3-aminopropoxy)-N-methyl-N-(phenylmethyl)-,
(3.alpha,5.beta,7.alpha,12.alpha)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 4 OF 5 USPATFULL (Continued)

IT 203795-53-3P 203795-54-4P 203795-55-5P 222021-69-4P 241161-88-3P 241161-88-4P 241161-89-4P 241161-89-4P 241161-89-4P 241161-95-5P 241161-95-6P 241161-95-6P 241161-95-5P 241161-95-6P 241161-95-5P 241161-95-6P 203795-53-3 USPATFULL CN Chalan-24-amine, 3,7,12-tris(2-aminoethoxy)-N-methyl-N-(phenylmethyl)-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-54-4 USPATFULL

nolan-24-o1, 3,7,12-tris(3-aminopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

(Continued) L7 ANSWER 4 OF 5 USPATFULL

203795-48-6 USPATFULL Guanidine, N,N''',N'''''-[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methy[(phenylmethyl)amino]cholane-3,7,12-triyl]tris(oxy-2,1-ethanediyl)]tris-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-49-7 USPATFULL Guanidine, N,N''',N'''''-[{(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)aminojcholane-3,7,12-triyl}tris(oxy-3,1-propanediyl)]tris-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 4 OF 5 USPATFULL (Continued)

203795-55-5 USPATFULL 1-Propanamine, 3,3',3''.[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-(octyloxy)cholane-3,7,12-triy])tris(oxy)]tris-(9CI) (CA INDEX NAME)

222021-69-4 USPATFULL Pregnan-20-ol, 3,7,12-tris(3-aminopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12 .alpha.)- (9CI) (СА INDEX NAME)

Absolute stereochemistry.

241161-83-1 USPATFULL Cholan-24-amine, 3,7,12-tris(4-aminobutoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

RN 241161-84-2 USPATFULL CN Glycine, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenyl)methyl)amino]cholane-3,7,12-triyl ester, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●3 HC1

RN 241161-85-3 USPATFULL
CN .beta.-Alanine, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24[methyl(phenylmethyl)amino]cholane-3,7,12-triyl ester, trihydrochloride
(9C1) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 4 OF 5 USPATFULL (Continued)

PAGE 1-B

RN 241161-93-3 USPATFULL CN Cholan-24-amine, 3,7,12-tris(2-aminoethoxy)-N-methyl-N-(phenylmethyl)-, hydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

•x HCl

RN 241161-94-4 USPATFULL CN Cholan-24-amine, 3,7,12-tris(3-aminopropoxy)-N-methyl-N-(phenylmethyl)-, hydrochloride, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 4 OF 5 USPATFULL (Continued)

●3 HCl

RN 241161-86-4 USPATFULL
CN 1-Propanamine, 3,3',3'',3''',3'''',3''''-[oxybis[(3.alpha.,5.beta.,7.alph
a.,12.alpha.)-cholane-24,3,7,12-tetrayltris(oxy)]]hexakis- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 4 OF 5 USPATFULL (Continued)

●x HCl

Absolute stereochemistry.

•x HCl

RN 241161-96-6 USPATFULL
CN Guanidine, N,N''',N'''''-[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris(oxy-3,1propanediyl)]tris-, hydrochloride (9CI) (CA INDEX NAME)

●x HCl

IT 203795-51-1P 203795-67-9P 203795-70-4P 203795-73-7P 203795-76-0P 203795-93-P 203795-96-8P 203795-96-8P 203795-96-2P 203795-96-4P 203795-96-4P 203795-96-4P 203795-96-4P 203795-96-4P 203795-96-4P 203795-96-4P 203795-0-7P 224051-90-0P 241161-91-1P 241161-92-P (prepn. of steroidal antibiotics)
RN 203795-51-1 USPATFULL
CN Cholane, 3,7,12-tris(2-azidoethoxy)-24-(triphenylmethoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

203795-67-9 USPATFULL Cholan-24-01, 3,7,12-tris(2-azidoethoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9C1) (CA INDEX NAME)

, Absolute stereochemistry.

ANSWER 4 OF 5 USPATFULL (Continued)
203795-76-0 USPATFULL
(Cholan-24-ol, 3,7,12-tris(3-azidopropoxy)-, methanesulfonate (ester),
(3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-79-3 USPATFULL
Cholan-24-amine, 3,7,12-trig(2-azidoethoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-82-8 USPATFULL Cholan-24-amine, 3.7,12-tris(3-azidopropoxy)-N-methyl-N-(phenylmethyl)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 4 OF 5 USPATFULL (Continued)

203795-70-4 USPATFULL Cholan-24-ol, 3,7,12-tris(3-azidopropoxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-73-7 USPATFULL Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-, methanesulfonate (ester), (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 4 OF 5 USPATFULL

203795-94-2 USPATFULL Cholane, 3,7,12-tris(2-azidoethoxy)-24-(triphenylmethoxy)-, (3.beta.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

203795-95-3 USPATFULL Cholan-24-ol, 3,7,12-tris(2-azidoethoxy)-, (3.beta.,5.beta.,7.alpha.,12.alpha.,19C1) (CA INDEX NAME)

Absolute stereochemistry.

203795-96-4 USPATFULL Cholan-24-amine, 3,7,12-tris(2-azidoethoxy)-N-methyl-N-(phenylmethyl)-, (3.beta,7.alpha,12.alpha,)- (9CI) (CA INDEX NAME)

203796-02-5 USPATFULL Cholane, 3,7,12-tris(3-azidopropoxy)-24-(octyloxy)-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222022-02-8 USPATFULL
Pregnan-20-one, 3,7,12-tris(3-azidopropoxy)-,
(3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

241161-89-7 USPATFULL Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triylester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 4 OF 5 USPATFULL (Continued)

241161-92-2 USPATFULL
Cholane, 24,24'-oxybis(3,7,12-tris(3-azidopropoxy)-,
(3.alpha,5.beta.,7.alpha.,12.alpha.)-(3'.alpha.,5'.beta.,7'.alpha.,12'.
alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L7 ANSWER 4 OF 5 USPATFULL (Continued)

241161-90-0 USPATFULL
.beta.-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-,
(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]chol
ane-3,7,12-triyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

241161-91-1 USPATFULL Cholane, 3,7,12-tris(3-azidopropoxy)-24-bromo-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 4 OF 5 USPATFULL

L7 ANSWER 5 OF 5 USPATFULL.
ACCESSION NUMBER:
TITLE:
TATGETED Oligonucleotide conjugates
Hanoharan, Muthiah, Carlabad, CA, United States
(U.S. corporation)

NATE

USPATFULL
Targeted oligonucleotide conjugates
Hanoharan, Muthiah, Carlabad, CA, United States
(U.S. corporation)

KIND DATE US 6300319 B1 20011009
US 1998-97753 19980616 (9)
Utility
GRANTED
Riley, Jezia
Woodcock Washburn Kurtz Mackiewicz & Norris LLP
25

NUMBER KIND DATE

PATENT INFORMATION: US 6300319 B1 20011009
APPLICATION INFO: US 1998-97753 19980616 (9)
DOCUMENT TYPE: UTILITY
FILE SECMENT: GRANTED
FRIMARY EXAMINER: Raley, Jezia
LEGAL REPRESENTATIVE: Woodcock Washburn Kurtz Mackiewicz & Norris LLP
NUMBER OF CLAIMS: 25
EXEMPLARY CLAIM: 1
LINE COUNT: 1642
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides improved ingress of therapeutic and other moieties into cellular targets. In accordance with preferred embodiments, complexes are provided which carry primary moieties, chiefly therapeutic moieties, to such target cells. Such complexes preferably feature cell surface receptor ligands to provide specificity. Such ligands are preferably bound to primary moieties through polyfunctional manifold compounds.

IT 252769-11-2P 252769-13-69
(prepn. of targeted oligonucleotide conjugates useful as drug delivery agents)

RN 252769-11-2 USPATFULL
CN Cholane-3,7,12-triol, 24-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-, tris[[6-oxo-6-[(2,3,4,6-tetra-O-acetyl-beta.-O-galactopyranoxyl)amino]hexyl]carbamate], (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

Absolute stereochemistry.

L7 ANSWER 5 OF 5 USPATFULL (Continued)

PAGE 1-A (CH2) 5-

PAGE 1-B

252769-13-4 USPATFULL Cholane-3,7,12,24-tetrol, 3,7,12-tris[[6-oxo-6-[(2,3,4,6-tetra-0-acetyl-beta-,0-galactopyranosyl]amino]hexyl]carbamate], (3.alpha.,5.beta.,7.alpha.,12.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 5 OF 5 USPATFULL

PAGE 1-B

252769-12-3 USPATFULL
Cholane-3,7,12-triol, 24-[[(1,1-dimethylethyl)diphenylsilyl]oxy]-,
tris[[6-oxo-6-[[2,3,6-tri-0-acetyl-4-0-(2,3,4,6-tetra-0-acetyl-1-beta.-Dgalactopyranosyl]-.beta.-D-glucopyranosyl]amino]hexyl]carbamate],
(3.alpha.,5.beta.,7.alpha.,12.alpha.)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 5 OF 5 USPATFULL (Continued)

PAGE 1-B

— (CH2) 3

252769-14-5 USPATFULL
Cholane-3,7,12,24-tetrol, 3,7,12-tris[[6-oxo-6-[[2,3,6-tri-0-acetyl-4-0-[2,3,4,6-tri-0-acetyl-4-beta.-b-galactopyranosyl]-.beta.-b-glucopyranosyl]amino]hexyl]carbamate], (3.alpha.,5.beta.,7.alpha.,12.alpha.)- [9CI) (CA INDEX NAME]

PAGE 1-A

L7 ANSWER 5 OF 5 USPATFULL (Continued)

L7 ANSWER 5 OF 5 USPATFULL (Continued)
Absolute stereochemistry.

solute Stereochemistry.

RN 252769-15-6 USPATFULL 24-[bis(4-methoxyphenyl)phenylmethoxy]-, tris[[6-oxo-6-[[2,3,6-tri-0-acetyl-4-0-(2,3,4,6-tetra-0-acetyl-.beta.-D-galactopyranoxyl]-.beta.-D-galactopyranoxyl]-.beta.-D-galactopyranoxyl, and heavyl acetyl-.beta.-D-galactopyranoxyl, and heavyl acetyl-.beta.-D-galactopyranoxyl, and heavyl acetyl-.beta.-D-galactopyranoxyl, and heavyl, acetyl-.beta.-D-galactopyranoxyl, acetyl-.beta.-D-galacto

L7 ANSWER 5 OF 5 USPATFULL (Continued)

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L9

(FILE 'HOME' ENTERED AT 09:00:46 ON 03 MAR 2003)

| - | FILE 'REGISTRY' ENTERED AT 09:00:54 ON 03 MAR 2003 | | | | | | | | | | | | | | |
|-----|---|--|--|--|--|--|--|--|--|--|--|--|--|--|--|
| L1 | STRUCTURE UPLOADED | | | | | | | | | | | | | | |
| L2 | 3026043 S 4/NR | | | | | | | | | | | | | | |
| L3 | 50 S L1 SUB=L2 SAM | | | | | | | | | | | | | | |
| L4 | QUE L1 | | | | | | | | | | | | | | |
| L5 | STRUCTURE UPLOADED | | | | | | | | | | | | | | |
| L6 | 129 S L5 FULL | | | | | | | | | | | | | | |
| | | | | | | | | | | | | | | | |
| | FILE 'USPATFULL' ENTERED AT 09:07:46 ON 03 MAR 2003 | | | | | | | | | | | | | | |
| L7 | 5 S L6 | | | | | | | | | | | | | | |
| | | | | | | | | | | | | | | | |
| | FILE 'CAPLUS' ENTERED AT 09:10:41 ON 03 MAR 2003 | | | | | | | | | | | | | | |
| T.R | 16 S T.6 | | | | | | | | | | | | | | |

0 S L8 NOT L7

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L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:522683 CAPLUS
DOCUMENT NUMBER: 137:79113
TITLE: Preparation of steroid derived antibiotics
Savage, Paul B., Li, Chunhong
USA
USA PATENT ASSIGNEE(S): USA
U.S. Pat. Appl. Publ., 62 pp., Cont.-in-part of U.S.
Sec. No. 234,008
COODEN: USXXCO
DOCUMENT TYPE: LANGUAGE: English

English.

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

(Uses)
(prepn. of steroid derivs. as antibiotics)
222165-26-6 CAPLUS
Acetamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24hydroxycholane-3,7,12-triyl]tris[2-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

222165-44-8 CAPLUS Acetamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris[2-amino-(9CI) (CAINDEX NAME)

Absolute stereochemistry.

222165-50-6 CAPLUS
Propanamide, N,N',N''-{ (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24[methyl(phenylmethyl)amino]cholane-3,7,12-triyl)tris[3-amino- (9CI) (CA
INDEX NAME)

ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS

222165-33-5 CAPLUS
Propanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12-triyl}tris[3-amino-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

222165-39-1 CAPLUS
Hexanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24hydroxycholane-3,7,12-triyl]tris[2,6-diamino-, (25,2'S,2''S)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:142730 CAPLUS
DOCUMENT NUMBER: 116:200350
TITLE: 16:200350
TITLE: Preparation of steroid derived antibiotics
SWARTOR(S): Savage, Paul B., Li, Chunchong
PATENT ASSIGNEE(S): PCT Int. Appl., 128 pp.
CODEN: PIXXN2
DOCUMENT TYPE: Patent
LANGUAGE: PIXXN2
English
FAMILY ACC. NUM. COUNT: 4

FAMILY ACC. NUM. COUNT: 4

FAMILY ACC. NUM. COUNT: 4

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2002014342 Al 20020221 W0 2001-US25532 20010815

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MM, MW, MX, MZ, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SI, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, HW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, PT, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NS, SN, TD, TG

AU 2001084934 AS 20020225 Al 2001-04934 20010815

OTHER SOURCE(S): MARPAT 136;200350

OTHER SOURCE(S): MARPAT 136;200350

OTHER SOURCE(S): MARPAT 136;200350

OTHER SOURCE(S): MARPAT 136;200350

AB Novel steroid deriva., such as I (RI-R4, R6, R7, R11, R12, R15-R17 - H, GH, alkyl, hydroxyalkyl, alkoxyalkyl, alkylcarboxyalkyl aminoalkyl, oxo, steroid, etc., RS, R8-R10, R13, R14 - H, GH, alkyl, hydroxyalkyl, aryl, aminoalkoxy, etc.], or a pharmaceutically acceptable salt thereof, are preped, for use as antibacterial agents. The steroid deriv. also act to sensitize bacteria to other antibiotics including erythroxycin and novoblocin. Thus, Mc cholate was converted into steroid deriv. II in many steps. The MIC value of II against E. coli (ATCC 10798) was 2 muncyfml.

IT 222165-26-6F 222165-33-5P 222165-79-39

RN FAC (Pharmacological activity): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses)

(Uses) PATENT NO. KIND DATE APPLICATION NO. DATE

(Uses)
(prepn. of steroidal antibiotics)
222165-26-6 CAPLUS
Acetamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24hydroxycholane-3,7,12-triyl]tris[2-amino-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS

222165-50-6 CAPLUS
Propanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris[3-amino-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

222165-56-2 CAPLUS
Hexanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24hydroxycholane-3,7,12-triyl]tris[6-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

222165-33-5 CAPLUS 222165-33-5 CAPLUS
Propanamide, N,N',N'-[(3.alpha.,5.beta.,7.alpha:,12.alpha.)-24hydroxycholane-3,7,12-triyl]tris[3-amino-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

222165-44-9 CAPLUS Acetamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris[2-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

222165-79-9 CAPLUS Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.),7,12-tris[([[(1,1-dimethylethoxy)carbonyl]amino]acetyl]amino]cholan-24-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

222165-39-1P 222165-83-5P 222165-89-1P
222165-96-0P 222165-99-3P 222166-04-3P
RL: RCT (Reactant), SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of steroidal antibiotics)
222165-39-1 CAPLUS
Hexanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12-triy1]tris[2,6-diamino-, (2S,2'S,2''S)- (9CI) (CA)

ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS INDEX NAME)

Absolute stereochemistry.

222165-83-5 CAPLUS
.beta.-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-,
(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris[[3-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopropyl]amino]cholan-24-yl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

222165-89-1 CAPLUS

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS Absolute stereochemistry. (Continued)

222165-99-3 CAPLUS Carbamic acid, [[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12-triyl]tris[mino(3-oxo-3,1-propanediyl)]]tris-,tris(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222166-04-3 CAPLUS
Carbamic acid, [[{3.alpha.,5.beta.,7.alpha.,12.alpha.}-24-hydroxycholane-3,7,12-triyl]tris[imino[(2S)-1-oxo-1,2,6-hexanetriyl]]]hexakis-,hexakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)
L-Lysine, N2,N6-bis[(1,1-dimethylethoxy)carbonyl]-,
(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris[[(2S)-2,6-bis[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]cholan-24-yl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

222165-96-0 CAPLUS Carbamic acid, [[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12-triyl}tris[imino(2-oxo-2,1-ethanediyl)]}tris-, tris(1,1-dimethylethyl) ester (9C1) (CA INDEX NAME)

ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS

PAGE 1-A (CH₂) 3

PAGE 1-B

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:679602 CAPLUS
DOCUMENT NUMBER: 133:362882
TITLE: Preparation of a Protected Triamino Analogue of Cholic
Acid and Sequential Incorporation of Amino Acids in
Solution and on a Solid Support
AUTHOR(S): Zhou, Xiao-Ti; Atiq-ur Rehman; Li, Chunhong; Savage,
Faul B.

CORPORATE SOURCE: Department of Chemistry and Biochemistry, Brigham
Young University, Provo, UT, 84602, USA
Organic Letters (2000), 2(19), 3015-3018
CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:362882
AB The authors have prepd. a triamine deriv. of cholic acid with protecting
groups on the amines that allow sequential amide formation. The triamine
was formed from 3.alpha., 71.alpha., 12.alpha.-trihydroxycholan-24-ol with
good stereoselectivity. Sequential removal of the amine protecting groups
and amide formation was achieved in high-yielding steps and was performed
in soln. and on a solid support.

1 307951-07-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of a protected triamino, analog of cholic acid and sequential
incorporation of amino acids in soln. and on a solid support)

N 307951-07-10
Benzenepropanamide, alpha.-amino-N-((3.alpha,,5.beta.,7.alpha.,12.alpha.)7-((aminosactyl)amino]-3-((25)-2-amino-1-cxopropyl)amino)-24hydroxycholan-12-yl)-, (alpha.5)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

307950-96-5 CAPLUS

30/30/30-30-3 (Arbus)
Carbamic acid, [(15)-2-[[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-(benzoyloxy)-7-[[([(1,1-dimethylethoxy)carbonyl]amino]acetyl]amino]-12-[[((25)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-phenylpropyl]amino]eholan-3-yl]amino]-1-methyl-2-oxoethyl]-,

ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS lute stereochemistry.

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 17

ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued) 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry

307951-07-1 CAPLUS

30/93|-U/-1 CAPUS
Benzenepropanamide, .alpha.-amino-N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)7-[(aminoacetyl) amino]-3-[(25)-2-amino-1-exopropyl]amino]-24(benzyoloxy) cholan-12-yl]- (.alpha.s)- (9C) (CA INDEX NAME)

Absolute stereochemistry.

İΤ 307950-87-4P

RE: SPN (Synthetic preparation): PREP (Preparation)
(prepn. of a protected triamino analog of cholic acid and sequential
incorporation of amino acids in soln. and on a solid support)
307950-87-4 CAPLUS

307950-87-4 CAPLUS
Benzenepropanamide, .alpha.-amino-N-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)7-[(aminoacetyl)amino]-3-[((2S)-2-amino-1-oxopropyl]amino]-24hydroxycholan-12-yl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2000:493560 CAPLUS DOCUMENT NUMBER: 133:120505 synthesis and antibact synthesis and antibacterial activity of cholanic acid

INVENTOR(S): PATENT ASSIGNEE(S): SOURCE:

Synthesis and antibacterial a analogs Savage, Paul B., Li, Chunhong Brigham Young University, USA PCT Int. Appl., 107 pp. CODEN: PIXXD2 Patent English

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. DATE APPLICATION NO. DATE

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, HC, PT, IE, SI, LT, LV, FI, RO
JP 2002534532 T2 20021015 JP 2000-593625 20000119
W0 1998-US4489 A2 19980306
W0 2000-US1314 W 2000019
OTHER SOURCE(S): MARPAT 133:120505
AB A series of novel steroid derivs. (1) [R1 = (un)substituted NH2, NHC(=NH1)NH2; R2 = (un)substituted NH2, (un)substituted OH; n = 1,2] are synthesized and tested as antibacterial spents. Thus, I [R1 = NH2; R2 = N(CH2Ph)Nen; n = 2] (II) was prepd. From Me cholate by acid edn. to alc. followed by alc. tritylation and allylation, followed by reductive hydroxylation, zeidation, deprotection, mesylation, amination and azide redn. The steroid derivs. also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin and II shows an MIC of 4
.mu.g/mL with erythromycin, and novobiocin and II shows an MIC of 4
.z2165-26-6 22165-39-5 22165-39-1
222165-46-0 22165-30-6 RL: BAC (Biological sativity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant) reagent); USES (Uses)
(synthesis and antibacterial activity of cholanic acid analogs)
RN 22165-26-6 CAPLUS
NACEL AND STANCE OF THE STANCE O

ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS

222165-33-5 CAPLUS
Propanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12-triyl]tris[3-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222165-39-1 CAPLUS
Hexanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24hydroxycholane-3,7,12-triyl]tris[2,6-diamino-, (25,2'S,2'S)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

17

222165-79-9P 222165-83-5P 222165-89-1P
222165-96-0P 222165-99-3P 222166-04-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antibacterial activity of cholanic acid analogs)
222165-79-9 CAPLUS
Glycine, N-[(1,-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-7, 12-tris[[[(1,1]-dimethylethoxy)carbonyl]amino]acetyl]amino]cholan-24-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

222165-83-5 CAPLUS
.beta.-Alanine, N-[{1,1-dimethylethoxy)carbonyl]-,
{3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris[{3-[[(1,1-

ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

222165-44-8 CAPLUS Acetamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methy](phenylmethyl)amino]cholane-3,7,12-triyl]tris[2-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222165-50-6 CAPLUS Propanamide, N,N',N''-{ (3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris[3-amino-(9CI) (CAINDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued) dimethylethoxy) carbonyl]amino]-1-oxopropyl]amino]cholan-24-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

222165-89-1 CAPLUS L-Lyeine, N2-Mc-big[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.5.beta.7.alpha.12.alpha.)-3,7,12-trig[[(25)-2,6-big[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]cholan-24-yl ester (9CI)(CA INDEX NAME)

ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS

PAGE 1-A

PAGE 1-B

222165-96-0 CAPLUS Carbamic acid, [[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7.12-triy] tris[imino(2-oxo-2,1-ethanediy1)]]tris-, tris(1,1-dimethylethyl) ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A (CH2)3

PAGE 1-B

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

222165-99-3 CAPLUS Carbamic acid, [[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12-triylltris[mino(3-oxo-3,1-propanediyl)]]tris-, tris(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222166-04-3 CAPLUS Carbamic acid, [[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12-triyl]tris[imino[(2S)-1-oxo-1,2,6-hexanetriyl])]hexakis-,hexakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ACCESSION NUMBER: 1993:180651 CAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1993:180651 CAPLUS DOCUMENT NUMBER: 1301:267720
TITLE: Preparation of the company
130:267720
Preparation of amino acid-appended cholic acid derivatives as sensitizers of Gram-negative bacteria Atiq-ur-Rehman; Li, Chunhong; Budge, Loren P.: Street, Sarah E.: Savage, Paul B.
Department of Chemistry and Biochemistry, Brigham Young University, Provo, UT, 84602, USA
Tetrahedron Letters (1999), 40(10), 1865-1868
CODEN: TELEAY; ISSN: 0040-4039
Elsevier Science Ltd.
Journal AUTHOR(S):

CORPORATE SOURCE:

SOURCE:

Journal English

MENT TYPE: Journal
NUAGE: English
Attachment of amino acids to cholic acid derivs, yielded compds, that
sensitize Gram-nep, bacteria to hydrophobic antibiotics. Incorporation of
amino acids onto cholic acid derivs, via ester bonds was only achieved
with non-alpha.-branched amino acids, such as glycine and .beta:-alanine.
Nowever, both non-alpha.-branched and .alpha.-branched samino acids, such
as glycine, .beta:-alanine and lysine, were coupled to the steroid
backbone via amide bonds.
222165-26-67 222165-33-59 222165-39-1P
222165-44-69 222165-50-69 222165-56-2P
RL: BAC (Biological activity or effector, except adverse): BSU (Biological
study, unclassified): SPN (Synthetic preparation); BIOL (Biological
study): PREP (Preparation)
(prepn. of amino acid-conty. cholic acids that sensitize Gram-neg.
bacteria to hydrophobic antibiotics)
222165-26-6 CAPLUS
Acetamide, N,N'',N''-{(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24hydroxycholane-3,7,12-triyl}tris[2-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222165-33-5 CAPLUS
Propanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12-triy1]tris[3-amino- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

222165-39-1 CAPLUS
Hexanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24hydroxycholane-3,7,12-triyl]tris[2,6-diamino-, (25,2'S,2''S)- (9CI) (CA
IMDEX NAME)

Absolute stereochemistry.

222165-44-8 CAPLUS Acetamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris[2-amino- (9CI) INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

222165-79-9P 222165-83-5P 222165-89-1P
222165-96-0P 222165-99-3P 222166-04-3P
RL: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant): Gram-neg. (prepn. of amino acid-contg. cholic acids that sensitize Gram-neg. bacteria to hydrophobic antibiotics)
222165-79-9 CAPLUS
Glycine, N-[(1,1-dimethylethoxy)carbonyl]-, (3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris[[[[(1,1-dimethylethoxy)carbonyl]amino]acetyl]amino]cholan-24-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

222165-83-5 CAPLUS .beta.-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-,

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

222165-50-6 CAPLUS Propanamide, N,N',N''-[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-[methyl(phenylmethyl)amino]cholane-3,7,12-triyl]tris[3-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222165-56-2 CAPLUS
Hexanamide, N,N',N''-{(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12-triyl}tris[6-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued) (3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris[[3-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopropyl]amino]cholan-24-yl ester (9CI) (CA INDEX NAME)

PAGE 1-B

222165-89-1 CAPLUS
L-Lysine, N2,N6-bis[(1,1-dimethylethoxy)carbonyl]-,
(3.alpha.,5.beta.,7.alpha.,12.alpha.)-3,7,12-tris[((2S)-2,6-bis[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]cholan-24-yl ester (9CI)(CA INDEX NAME)

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

222165-96-0 CAPLUS Carbamic acid, [[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12-triyl]tris[imino(2-oxo-2,1-ethanediyl)]]tris-, tris(1,1-dimethylethyl) ester (SCI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS

PAGE 1-B

REFERENCE COUNT:

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS (Continued)

222165-99-3 CAPLUS Carbamic acid, [[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12-triylltris[imino(3-oxo-3,1-propanediyl)]]tris-, trix[(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

222166-04-3 CAPLUS Carbamic acid, [[(3.alpha.,5.beta.,7.alpha.,12.alpha.)-24-hydroxycholane-3,7,12-triyl]tris[mino((2S)-1-oxo-1,2,6-hexanetriyl])]hexakis-, hexakis-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

09/930,316 Page 10

=> d ibib ab fqhit 1-7

HN-G16-NH-G15

= alkylene<(1-10)> (SO)

or pharmaceutically acceptable salts

(Continued)

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L9 ANSWER 1 OF 7
ACCESSION NUMBER:
TITLE:
Preparation of steroid derivatives for treating hypocholesterolemia Liao, Shutsungs Song, Ching USA
SOURCE:
USA PLANGUAGE:
DOCUMENT TYPE:
EARGUAGE:
FAMILY ACC. NUM. COUNT:
FATENT INFORMATION:

L10, Shutsungs Song, Ching
USA
COODEN: USAXXCO
Patent
English
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
              DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
  PATENT NO. XIND DATE APPLICATION NO. DATE

US 2002107233 A1 20020808 US 2002-72128 20020208

WO 2002062302 A2 20020815 WO 2002-73128 20020207

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, CM, DY, EC, EE, SF, FI, GB, GD, GE, GH, GM, HR, HU, 1D, IL, IN, IS, JF, KE, KG, KF, KR, KZ, LC, LK, LR, PI, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, M2, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GD, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO: US 2001-267493P 20010208

AB The steroid derivs. I [R1, R2, R4, R4', R7, R11, R12, R15, R16, R17, R17' - H, OH, amino, carboxyl, oxo, halo, sulfonic acid, -0-sulfonic acid, or alkyl that is optionally inserted with Y, Y - "NH-, "N(alkyl)-, -0-, -5-, -50-, -502-, -0-802-, -502-0-, -503-0-, -C0-N, -C0-N(alkyl)-, "NH-CO-, or "N(alkyl)-", CO-, and further optionally substituted with OH, halo, amino, carboxyl, sulfonic acid, or -0-sulfonic acid, alkyl, RSR6 - 0, double bond; R8, R9, R10, R13, R14 = H, alkyl, haloalkyl, hydroxyalkyl, alkoxy, hydroxy, amino; n = 0-2], or their salts were prepd. Thus, 5.alpha., 6.alpha.-epoxycholesterol-3-sulfate (II) was prepd. N the reaction of 5.alpha., 6.alpha.-epoxycholesterol-3-sulfate (II) was prepd.). Also disclosed are a method of treating hypocholesterolemia and a method of screening for an LKR agonist by administering I, a pharmaceutical compn. conto, at least one of the compda. described above, and an antibody against II or 7-ketocholesterol-3-sulfate.

MSTR 1
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                                                          PATENT NO.
                                                                                                                                                                                                                                                                                                                                                                                                             APPLICATION NO. DATE
         L9 ANSWER 2 OF 7 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 137:79113 MARPAT
TITLE: Preparation of steroid derived antibiotics
Savage, Paul B., Li, Chunhong
USA
SURCE: USA
U.S. Pat. Appl. Publ., 62 pp., Cont.-in-part of U.S.
Sec. No. 234,008.
CODEN: USXXCO
DOCUMENT TYPE: Patent
EARCH_VENDER_COLUT: 4
           FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
PATENT NO. KIND DATE APPLICATION NO. DATE

US 2002091278 Al 20020711 US 2001-930316 20010815
WO 9944616 Al 19990910 WO 1998-US4489 19980306
W: AL, AM, AT, AU, AZ, BA, BB, BB, BR, BY, CA, CH, CN, CU, C2, DE, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MY, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SI, TJ, TH, TR, TT, UA, UG, US, UZ, VW, YU, ZW, AX, AZ, BY, KG, XZ, MD, RU, TJ, TH
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, ML, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, HL, HR, NE, SN, TD, TG
US 6350738 B1 20020226 US 1999-234008 19990119
PRIORITY APPLN. INFO.: US 1999-234008 19990119

AB Novel steroid derivs. of formula I (R1-R4, R6, R7, R1, R12, R15-R17 = H, OH, alkyl, hydroxyalkyl, aminoalkyl, aryl, etc.] are prepd. The steroid derivs. are antibacterial agents. The steroid derivs. abo act to sensitize bacteria to other antibiotics including erythromycin and novobiocin. Thus, II was prepd. from Me cholate, allyl broinde and benzylmethylamine in several steps. The prepd. compds. were tested against Gram-neg, bacteria.
                            MSTR 1
         G1
                                                                        - 132
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ANSWER 1 OF 7 MARPAT COPYRIGHT 2003 ACS

L9 ANSWER 2 OF 7 MARPAT COPYRIGHT 2003 ACS (Continued)
NTE: substitution is restricted
NTE: double bond and oxo formation in steroid ring system also claimed

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L9 ANSWER 3 OF 7 MARPAT COPYRIGHT 2003 ACS ACCESSION NUMBER: 136:200350 MARPAT TITLE: , Preparation of steroi.
                                                PAT COPYRIGHT 2003 ACS
136:200350 MARPAT
Preparation of steroid derived antibiotics
Savage, Paul B.; Li, Chunchong
Brigham Young University, USA
PCT Int. Appl., 128 pp.
CODEN: PIXXD2
Patent
INVENTOR(S):
PATENT ASSIGNEE(S):
DOCUMENT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:__.
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PATENT NO.
                                                                                                                                                                             KIND DATE
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WO 2002014342 A1 20020221 WO 2001-US25532 20010815

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BE, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, CM, DZ, EC, EE, SE, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YI, ZA, ZY, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NI, FT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GM, GW, ML, MR, NE, SN, TD, TG

AU 200108494 A5 20020225 AU 2001-84934 20010815

PRIORITY APPIN. INFO:

AB Novel steroid derivs., such as I [Rl-M4, M6, R7, R1], R12, R15-R17 = H, OH, alkyl, hydroxyalkyl, alkoxyalkyl, alkylcarboxyalkyl aminoalkyl, oxo, steroid, etc.; R5, R8-R10, R13, R14 = H, OH, alkyl, hydroxyalkyl, aminoalkoxy, etc.], or a pharmaceutically acceptable salt thereof, are prepd. for use as antibacterial agents. The steroid derivs. also act to sensitize bacteria to other antibiotics including erythromycin; and novobiocin. Thus, Me cholate was converted into steroid deriv. II in many steps. The MIC value of II against E. coli (ATCC 10798) was 2 .mu.g/mL.
                                                                                                                                                                                   A1
                                                                                                                                                                                                                           20020221
                                                                                                                                                                                                                                                                                                                                             WO 2001-US25532
                                             WO 2002014342
                                                                                                                                                                                                                                                                                                                                                                                                                                                                            20010815
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-G16-NH-G15

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L9 ANSWER 4 OF 7 MARPAT COPYRIGHT 2003 ACS ACCESSION NUMBER: 134:295993 MARPAT TITLE: Estradiol conjugates
                                                                                                                                                                 Estradiol conjugates and their therapeutic
                                                                                                                                                                Estradiol conjugates and their therapeutic applications
Stewart, Alastair George; McAllister, David James; Collis, Maree Patricia; Robertson, Alan Duncan University of Melbourne, Australia
PCT Int. Appl., 57 pp.
CODEN: PIXXD2
Patent
        INVENTOR(S):
        PATENT ASSIGNEE(S):
        SOURCE:
        DOCUMENT TYPE:
LANGUAGE:
        FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
## PATENT NO. KIND DATE ## APPLICATION NO. DATE

## WO 2001027132 Al 20010419 ## WO 2000-AU1244 20001013

## AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, CZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, NN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

### GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FT, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CT, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1226154 Al 20020731 EP 2000-969105 20001013

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, EL, SI, LT, LV, FI, RO, MK, CY, AL

PRIORITY APPLN. INFO:

AB The invention discloses the prepn. of conjugated prodrug of estradiol compd. I (R1-R4 = H, OH, halo, alkyl, alkenyl, alkynyl, cycloalkyl, amino, aryl, keto, hydrazono, oximino, carbohydrate, peptide, etc.; m,n,p,q 0-3), a pharmaceutically acceptable salt or in vivo hydrolyzable ester, amide carbonate or carbamate thereof, in the treatment of conditions assocd. with enhanced angiogenesis or accelerated cell division, such as asstma and rheumatoid arthritis and hyperproliferative skin disorders including psoriasis. Thus, II (R1 = OMe, R2 = H (III)) was prepd. via multi-step reaction sequence starting from .beta.-estradiol II (R1-R2 = H). In human airway fibroblasts thrombin-stimulated direceases in cell no. were reduced to 12 .+-. 6% of the control response by III.
                                                                                                                                              KIND DATE
                                      PATENT NO.
                                                                                                                                                                                                                                                                               APPLICATION NO. DATE
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$$\begin{matrix} G_1 & G_1 & G_1 & G_1 \\ G_7 & G_1 & G_1 & G_1 \\ G_7 & G_4 & G_1 & G_1 \end{matrix}$$

G1 **=** 55

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ANSWER 4 OF 7 MARPAT COPYRIGHT 2003 ACS
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H2C-CH2-NH2

claim 10 or derivatives, pharmaceutically acceptable salts, or in vivo hydrolyzable esters, amides, carbonates, or carbamates substitution is restricted also incorporates claim 32, formulas IV, V, and VI

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

= 33

= 96

H2C-

¥9 -G1

G7

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ANSWER 3 OF 7 MARPAT COPYRIGHT 2003 ACS (Continued)

- alkylene<(1-10)>
claim 1

or pharmaceutically acceptable salts
substitution is restricted
optional unsaturation and oxo formation of steroid ring system also

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L9 ANSWER 5 OF 7 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER: 133:120505 MARPAT
TITLE: synthesis and antibacterial activity of cholanic acid
analogs
INVENTOR(S): Savage, Paul B.; Li, Chunhong
PATENT ASSIGNEE(S): Brigham Young University, USA
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:
```

R: AI, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LIT, LV, FI, RO

JE, SI, LIT, LV, FI, RO

JP 2002534532 T2 20201015 JP 2000-593625 20000119

WO 1998-US4489 19980306

WO 2000-US1314 20000119

AB A series of novel steroid derivs. (I) [R1 = (un)substituted NH2, NHC(=NH)NH2; R2 = (un)substituted NH2, (un)substituted OH: n = 1,2) are synthesized and tested as antibacterial agents. Thus, I [R1 = NH2; R2 = NCCH2Ph)Mer n = 2] (II) was prepd. from Me cholate by acid redn. to alc. followed by aclt. tritylation and allylation followed by reductive hydroxylation, azidation, deprotection, mesylation, amination and azide redn. The steroid derivs. also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin and II shows an MIC of 4.

MSTR 1

$$G_1 \xrightarrow{G_1} G_1 \xrightarrow{G_1} G_1$$

$$G_1 \xrightarrow{G_1} G_1 G_1$$

$$G_1 \xrightarrow{G_1} G_1 G_1$$

L9 ANSWER 6 OF 7 MARPAT COPYRIGHT 2003 ACS
ACCESSION NUMBER:
131:199886 MARPAT
TITLE: Preparation of steroid derived antibiotics
Savage, Paul B.: Li, Chunhong
PATEMT ASSIGNEE(S): Srigham Young University, USA
SOURCE: PIXMD2
DOCUMENT TYPE: CODEN: PIXMD2
Patent
LANGUAGE: Family ACC. NUM. COUNT:
FAMILY ACC. NUM. COUNT:
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| WO | | | | A1 | | | | | WO 1998-US4489 | | | | | 19980306 | | | |
| | w: | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CU, | CZ, | DE. |
| | | DK, | EE, | ES, | FI, | GB, | GE, | GH, | GM, | GW. | HU. | ID. | IL. | IS, | JP. | KE. | KG. |
| | | KP, | KR, | KZ, | LC, | LK. | LR, | LS. | LT. | LU. | LV. | MD. | MG. | MK. | MN. | MV. | MX. |
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| | | UA, | UG. | US. | UZ. | VN. | YU. | ZV. | AM. | AZ. | BY. | KG. | KZ. | MD. | RII. | TJ. | TM |
| | RW: | GH, | GM. | KE. | LS. | MW. | SD. | 57. | HG. | ZW. | AT, | BE. | CH, | DF. | nr, | EC. | FT |
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| CA | 2322 | | | | | | | | | 10 | 00-2 | 3220 | 17 | 1000 | 3206 | | |
| AII | 9866 | 911 | | A1 | | 19990910 | | | 3/ | 1 10 | 00 6 | 5220. | • • | 1000 | 2200 | | |
| ro ro | 1066 | 00211 | | A1 | | 20001212 | | | AU 1998-66911 EP 1998-909028 | | | | 19980306 | | | | |
| EF | | | | | | | | | GB, GR, IT, LI, LU | | | | | | | | |
| | K: | | | CH, | DE, | UK, | ES, | FR, | GB, | GR, | IT, | ы, | LU, | NL, | SE, | МÇ, | PT, |
| | | IE, | | _ | | | | | | | | | | | | | |
| | | 711 | | | | 2001 | | | | | | | | 1998 | | | |
| | | 5052 | | | | 2002 | | | | | | | | 1998 | | | |
| | | 738 | | В: | 1 | 2002 | | | US | 19 | 99-2 | 34001 | 3 | 19990 | 1119 | | |
| | | 20193 | | | | 2002 | | | US | 20 | 01-92 | 2792 | 5 | 20010 | 0810 | | |
| | | 148 | | | | 2002 | 1126 | | | | | | | | | | |
| US | 2002 | 20912 | 78 | A) | Ł | 2002 | 711 | | US | 20 | 01-9 | 3031 | 5 | 20010 | 0815 | | |
| ORIT' | Y APE | LN. | NFO. | . 1 | | | | | WC | 19 | 98-US | 54489 | , | 19980 | 306 | | |
| | | | | | | | | | US | 19 | 99-2 | 34008 | 3 | 19990 | 1119 | | |
| | | | | | | | | | | | | | | | | | |

US 1999-234008 19990119

AB Novel steroid derivs. of formula I [R1-R4, R6, R7, R11, R12, R15-R17 - H, OH, alkyl, hydroxyalkyl, aminoalkyl, oxo, steroid, etc., R5, R8-R10, R13, R14 - H, OH, alkyl, hydroxyalkyl, aryl, oxo, etc.] are prepd. The steroid derive are antibacterial agents. The steroid derive also act to sensitize bacteria to other antibiotics including erythromycin and novobiocin. Thus, Me cholate was converted into II in many steps. The MIC value of II against E. coli (ATCC 10798) was 2 ug/mL.

MSTR

PRI

$$G_1 \xrightarrow{G_1} G_1 G_1 G_1$$

$$G_1 \xrightarrow{G_1} G_1 G_1$$

$$G_1 \xrightarrow{G_1} G_1 G_1$$

G1 = 42

L9 ANSWER 6 OF 7 MARPAT COPYRIGHT 2003 ACS (Continued)

HD — C(O)-63—65

G3 = alkylene<(1-10)>
65 = NHZ (SO)

DER: or pharmaceutically acceptable salts

HDL: claim 1

NTE: substitution is restricted

optional unsaturation and oxo formation of steroid ring system also claimed

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 7
ACCESSION NUMBER:
1171LE:
1171LE:
1171ET:
117

PATENT NO. KIND DATE APPLICATION NO. DATEUS 5695733 A 19971209 US 1995-490965 19950615

PRIORITY APPLN. INFO.:

AB Steroidal C-glycosides I [R1 = H, alkyl: R2 = alkyl, alkene,
CTMe(CT2) ncO2H or corresponding esters, anides or salts (n = pos.
integer); at least one of R3 is a carbohydrate moiety and the remaining R3
are selected from R1, oxo, sulfate, sulfonate, O-carbohydrate) were prepd.
as pharmaceuticals. Thus, 1-[3-O-(lithiocholic acid)]-2-(.alpha.-L-Cmethylfuccpyranose)-2', 3'-propanediol Me ester was prepd. and assayed for
antiinflammatory activity. Arachidonic acid-induced inflammation was
reduced by 48.5% by topical application of 1 mg of this compd.

G20_3ÇH

= NH = 74-31 76-34

ANSWER 7 OF 7 MARPAT COPYRIGHT 2003 ACS (Continued)

- NH2
claim 1
substitution is restricted

=> d his

(FILE 'HOME' ENTERED AT 09:19:26 ON 03 MAR 2003)

FILE 'REGISTRY' ENTERED AT 09:19:33 ON 03 MAR 2003

L1 STRUCTURE UPLOADED

L2 1 S L1

L3 15 S L1 FULL

FILE 'CAPLUS' ENTERED AT 09:20:20 ON 03 MAR 2003

L4 5 S L3

FILE 'USPATFULL' ENTERED AT 09:22:09 ON 03 MAR 2003

L5 1 S L3

L6 0 S L5 NOT L4

FILE 'MARPAT' ENTERED AT 09:22:26 ON 03 MAR 2003

L7 0 S L3

L8 11 S L3 FULL

L9 7 S L8/COM